Claims

What is claimed:

1. A compound of the formula

wherein

R¹ is selected from alkyl of 1-8 carbon atoms, alkenyl of 2-8 carbon atoms, alkynyl of 2-8 carbon atoms, and A-R⁹,

or

R¹ is selected from aryl of 6-10 carbon atoms, heteroaryl of 2-9 carbon atoms and 1-4 heteroatoms selected from N, S(=O)₀₋₂ and O, cycloalkyl of 3-8 carbon atoms, cycloalkenyl of 4-8 carbon atoms, 5-7 membered heterocycloalkyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, S(=O)₀₋₂ and O, and 5-7 membered heterocycloalkenyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, S(=O)₀₋₂ and O, wherein said heterocycloalkyl and said heterocycloalkenyl may further be fused with phenyl or a 5-6 membered heteroaryl of 2-5 carbon atoms and 1-3 heteroatoms selected from N, S(=O)₀₋₂ and O, and/or wherein one or more of the carbon atoms in said heterocycloalkyl or heterocycloalkenyl may be oxidized to C(=O), all of which may be substituted with 1-3 of R¹⁰;

R¹⁰ is selected from nitro, nitrile, hydroxy, halogen, acyl of 1-6 carbon atoms, alkyl of 1-6 carbon atoms, alkenyl of 2-6 carbon atoms, alkynyl of 2-6 carbon atoms, haloalkyl of 1-6 carbon atoms, alkoxy of 1-6 carbon atoms, haloalkoxy of 1-6 carbon atoms, cycloalkoxy of 3-6 carbon atoms, aryl of 6-10 carbon atoms, heteroaryl of 2-9 carbon atoms and 1-4 heteroatoms selected from N, S(=O)₀₋₂ and O, NR¹¹R¹², C(=O)OR¹¹, C(=O)NHR¹¹, NHC(=O)R¹³, NHS(=O)₂R¹³, S(=O)₀₋₂R¹³, S(=O)₂NHR¹¹, cycloalkyl of 3-6 carbon atoms, cycloalkenyl of 3-6 carbon atoms, 5-7 membered heterocycloalkyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, S(=O)₀₋₂ and O, and 5-7 membered heterocycloalkenyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, S(=O)₀₋₂ and O, wherein said heterocycloalkyl and said heterocycloalkenyl may further be fused

with phenyl or a 5-6 membered heteroaryl of 2-5 carbon atoms and 1-3 heteroatoms selected from N, S(=O)_{0.2} and O, and/or wherein one or more of the carbon atoms in said heterocycloalkyl or heterocycloalkenyl may be oxidized to C(=O);

R¹³ is selected from alkyl of 1-6 carbon atoms, alkenyl of 2-6 carbon atoms, alkynyl of 2-6 carbon atoms, haloalkyl of 1-6 carbon atoms, cycloalkyl of 3-6 carbon atoms, and cycloalkenyl of 4-6 carbon atoms;

R¹¹ and R¹² are independently selected from hydrogen, alkyl of 1-6 carbon atoms, alkenyl of 2-6 carbon atoms, alkynyl of 2-6 carbon atoms, haloalkyl of 1-6 carbon atoms, cycloalkyl of 3-6 carbon atoms, and cycloalkenyl of 4-6 carbon atoms;

A is selected from alkyl of 1-8 carbon atoms, alkenyl of 2-8 carbon atoms, alkynyl of 2-8 carbon atoms, and haloalkyl of 1-8 carbon atoms;

R⁹ is selected from hydroxy, alkoxy of 1-6 carbon atoms, cycloalkoxy of 3-6 carbon atoms, O-A-R¹⁴, NR¹¹R¹²; or

 R^9 is selected from aryl of 6-10 carbon atoms, heteroaryl of 2-9 carbon atoms and 1-4 heteroatoms selected from N, S(=O)₀₋₂ and O, cylcoalkyl of 3-8 carbon atoms, cycloalkenyl of 5-8 carbon atoms, all of which may be substituted with 1-3 of R^{10} , or

 R^9 is selected from 5-7 membered heterocycloalkyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, S(=O)₀₋₂ and O and 5-7 membered heterocycloalkenyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, S(=O)₀₋₂ and O, wherein said heterocycloalkyl and said heterocycloalkenyl may further be fused with phenyl or a 5-6 membered heteroaryl of 2-5 carbon atoms and 1-3 heteroatoms selected from N, S(=O)₀₋₂ and O, and/or wherein one or more of the carbon atoms in said heterocycloalkyl or heterocycloalkenyl may be oxidized to C(=O), wherein said heterocycloalkyl or said heterocycloalkenyl may be substituted with 1-3 of R^{10} ;

 R^{14} is selected from cylcoalkyl of 3-8 carbon atoms, cycloalkenyl of 5-8 carbon atoms, 5-7 membered heterocycloalkyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, S(=O)₀₋₂ and O, and 5-7 membered heterocycloalkenyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, S(=O)₀₋₂ and O, all of which may be substituted with 1-3 of R^{10} ;

R³ is hydrogen,

R⁴ is -NR⁴⁻¹R⁴⁻²:

R⁴⁻¹ is selected from the group consisting of hydrogen, alkyl of 1-8 carbon atoms, alkenyl of 2-8 carbon atoms, alkynyl of 2-8 carbon atoms and haloalkyl of 1-8 carbon atoms;

R⁴⁻² is selected from the group consisting of hydrogen, alkyl of 1-8 carbon atoms, alkenyl of 2-8 carbon atoms, alkynyl of 2-8 carbon atoms, haloalkyl of 1-8 carbon atoms, aryl of 6-10 carbon atoms, heteroaryl of 2-9 carbon atoms and 1-4 heteroatoms, 5-7 membered heterocycloalkyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, S(=O)₀₋₂ and O and 5-7 membered heterocycloalkenyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, S(=O)₀₋₂ and O, wherein said aryl, hereroaryl, heterocycloalkyl or heterocycloalkenyl may be substituted with one to three substituents selected from the group consisting of nitro, nitrile, hydroxy, halogen, acyl of 1-6 carbon atoms, alkyl of 1-6 carbon atoms, alkenyl of 2-6 carbon atoms, alkynyl of 2-6 carbon atoms, haloalkyl of 1-6 carbon atoms, alkoxy of 1-6 carbon atoms, or

R⁴⁻¹ and R⁴⁻² form a 5-7 membered heterocycloalkyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, S(=O)₀₋₂ and O, wherein said heterocycloalkyl may be substituted with one to three substituents selected from the group consisting of nitro, nitrile, hydroxy, halogen, acyl of 1-6 carbon atoms, alkyl of 1-6 carbon atoms, alkenyl of 2-6 carbon atoms, alkynyl of 2-6 carbon atoms, haloalkyl of 1-6 carbon atoms, alkoxy of 1-6 carbon atoms and haloalkoxy of 1-6 carbon atoms,

R⁵ and R⁶ are independently selected from cycloalkyl of 3-8 carbon atoms, cycloalkenyl of 4-8 carbon atoms, aryl of 6-10 carbon atoms, and heteroaryl of 2-9 carbon atoms and 1-4 heteroatoms, all of which may be substituted with 1-3 of R¹⁰.

or

R⁵ and R⁶ are independently selected from 5-7 membered heterocycloalkyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, S(=O)₀₋₂ and O and 5-7 membered heterocycloalkenyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, S(=O)₀₋₂ and O, wherein said heterocycloalkyl and said heterocycloalkenyl may further be fused with phenyl or a 5-6 membered heteroaryl of 2-5 carbon atoms and 1-3 heteroatoms selected from N, S(=O)₀₋₂ and O, and/or wherein one or more of the carbon atoms in said heterocycloalkyl or heterocycloalkenyl may be oxidized to C(=O), wherein said heterocycloalkyl or said heterocycloalkenyl may be substituted with 1-3 of R¹⁰, A-R²³, A-NR²⁴R²⁵, C(=O)R²⁴, C(=O)OR²⁴, C(=O)NR²⁴R²⁵, S(=O)₂R²⁶, A-C(=O)R²⁴, A-C(=O)OR²⁴, or A-C(=O)NR²⁴R²⁵.

or

R⁵ and R⁶ are independently selected from hydrogen, halogen, nitrile, nitro, hydroxy, alkyl of 1-8 carbon atoms, alkenyl of 2-8 carbon atoms, alkynyl of 2-8 carbon atoms, haloalkyl of 1-8 carbon atoms, alkoxy of 1-8 carbon atoms, haloalkoxy of 1-8 carbon atoms, cycloalkoxy of 3-8 carbon atoms, A-R²³, A(OR²²)-R²³, NR²⁷R²⁸, A-NR²⁷R²⁸, A-Q-R²⁹, Q-R²⁹, Q-A-NR²⁴R²⁵, C(=O)R²⁴, C(=O)NR²⁴R²⁵, A-C(=O)R²⁴, A-C(=O)OR²⁴, and A-C(=O)NR²⁴R²⁵;

Q is selected from O and S(=O)₀₋₂;

R²² is selected from hydrogen, alkyl of 1-8 carbon atoms, haloalkyl of 1-8 carbon atoms, and cycloalkyl of 3-8 carbon atoms;

R²³ is selected from hydroxy, alkoxy of 1-8 carbon atoms, haloalkoxy of 1-8 carbon atoms, and cycloalkoxy of 3-8 carbon atoms, or

R²³ is selected from cycloalkyl of 3-8 carbon atoms, cycloalkenyl of 4-8 carbon atoms, aryl of 6-10 carbon atoms, and heteroaryl of 2-9 carbon atoms and 1-4 heteroatoms selected from N, S(=O)₀₋₂, and O, all of which may be substituted with 1-3 of R¹⁰, or

R²³ is selected from 5-7 membered heterocycloalkyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, O, S(=O)₀₋₂, and 5-7 membered heterocycloalkenyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, O, S(=O)₀₋₂, wherein said heterocycloalkyl and said heterocycloalkenyl may further be fused with phenyl or a 5-6 membered heteroaryl of 2-5 carbon atoms and 1-3 heteroatoms selected from N, S(=O)₀₋₂ and O, and/or wherein one or more of the carbon atoms in said heterocycloalkyl or heterocycloalkenyl may be oxidized to C(=O), wherein said heterocycloalkyl or said heterocycloalkenyl may be substituted with 1-3 of R¹⁰;

with the proviso for A(OR²²)-R²³ that when R²³ is selected from hydroxy, alkoxy of 1-8 carbon atoms, haloalkoxy of 1-8 carbon atoms, and cycloalkoxy of 3-8 carbon atoms, A is not CH;

 R^{24} and R^{25} are independently selected from hydrogen, alkyl of 1-6 carbon atoms, alkenyl of 2-6 carbon atoms, alkynyl of 2-6 carbon atoms, haloalkyl of 1-6 carbon atoms, and A- R^{23} , or

R²⁴ and R²⁵ are independently selected from cycloalkyl of 3-6 carbon atoms, cycloalkenyl of 3-6 carbon atoms, aryl of 6-10 carbon atoms, and heteroaryl of 2-9 carbon atoms and 1-

4 heteroatoms selected from N, S(=O)₀₋₂, and O, all of which may be substituted with 1-3 of R¹⁰, or

R²⁴ and R²⁵ are independently selected from 5-7 membered heterocycloalkyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, O, S(=O)₀₋₂, and 5-7 membered heterocycloalkenyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, O, S(=O)₀₋₂, wherein said heterocycloalkyl and said heterocycloalkenyl may further be fused with phenyl or a 5-6 membered heteroaryl of 2-5 carbon atoms and 1-3 heteroatoms selected from N, S(=O)₀₋₂ and O, and/or wherein one or more of the carbon atoms in said heterocycloalkyl or heterocycloalkenyl may be oxidized to C(=O), wherein said heterocycloalkyl or said heterocycloalkenyl may be substituted with 1-3 of R¹⁰, or

 R^{24} and R^{25} combine, together with the nitrogen atom to which they are attached, to form a 5-7 membered heterocycloalkyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, $S(=O)_{0.2}$, and O, a 5-7 membered heterocycloalkenyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, $S(=O)_{0.2}$, and O, or a heteroaryl of 2-9 carbon atoms and 1-4 heteroatoms, wherein said heterocycloalkyl and said heterocycloalkenyl may further be fused with phenyl or a 5-6 membered heteroaryl of 2-5 carbon atoms and 1-3 heteroatoms selected from N, $S(=O)_{0.2}$ and O, and/or wherein one or more of the carbon atoms in said heterocycloalkyl or heterocycloalkenyl may be oxidized to C(=O), all of which may be substituted with 1-3 of R^{10} ;

 R^{26} is selected from alkyl of 1-6 carbon atoms, alkenyl of 2-6 carbon atoms, haloalkyl of 1-6 carbon atoms, $A(OR^{22})-R^{23}$, and $A-R^{23}$, or

 R^{26} is selected from cycloalkyl of 3-6 carbon atoms, cycloalkenyl of 3-6 carbon atoms, aryl of 6-10 carbon atoms, and heteroaryl of 2-9 carbon atoms and 1-4 heteroatoms selected from N, S(=O)₀₋₂, and O, all of which may be substituted with 1-3 of R^{10} , or

R²⁶ is selected from 5-7 membered heterocycloalkyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, O, S(=O)₀₋₂, and 5-7 membered heterocycloalkenyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, O, S(=O)₀₋₂, wherein said heterocycloalkyl and said heterocycloalkenyl may further be fused with phenyl or a 5-6 membered heteroaryl of 2-5 carbon atoms and 1-3 heteroatoms selected from N, S(=O)₀₋₂ and O, and/or wherein one or more of the carbon atoms in said heterocycloalkyl or heterocycloalkenyl may be oxidized to C(=O), wherein said heterocycloalkyl or said heterocycloalkenyl may be substituted with 1-3 of R¹⁰;

R²⁷ is selected from hydrogen, alkyl of 1-6 carbon atoms, alkenyl of 2-6 carbon atoms, alkynyl of 2-6 carbon atoms, haloalkyl of 1-6 carbon atoms, and A-R²³, or

 R^{27} is selected from cycloalkyl of 3-6 carbon atoms, cycloalkenyl of 3-6 carbon atoms, aryl of 6-10 carbon atoms, and heteroaryl of 2-9 carbon atoms and 1-4 heteroatoms selected from N, S(=O)₀₋₂, and O, all of which may be substituted with 1-3 of R^{10} , or

R²⁷ is selected from 5-7 membered heterocycloalkyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, O, S(=O)₀₋₂, and 5-7 membered heterocycloalkenyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, O, S(=O)₀₋₂, wherein said heterocycloalkyl and said heterocycloalkenyl may further be fused with phenyl or a 5-6 membered heteroaryl of 2-5 carbon atoms and 1-3 heteroatoms selected from N, S(=O)₀₋₂ and O, and/or wherein one or more of the carbon atoms in said heterocycloalkyl or heterocycloalkenyl may be oxidized to C(=O), wherein said heterocycloalkyl or said heterocycloalkenyl may be substituted with 1-3 of R¹⁰;

 R^{28} is selected from hydrogen, alkyl of 1-6 carbon atoms, alkenyl of 2-6 carbon atoms, alkynyl of 2-6 carbon atoms, haloalkyl of 1-6 carbon atoms, A-R²³, C(=O)R²⁴, C(=O)OR²⁶, C(=O)NR²⁵R³⁰, S(=O)₂R²⁶, A-C(=O)R²⁴, A-C(=O)OR²⁴, and A-C(=O)NR²⁴R²⁵, or

 R^{28} is selected from cycloalkyl of 3-6 carbon atoms, cycloalkenyl of 3-6 carbon atoms, aryl of 6-10 carbon atoms, heteroaryl of 2-9 carbon atoms and 1-4 heteroatoms selected from N, S(=O)₀₋₂, and O, all of which may be substituted with 1-3 of R^{10} , or

R²⁸ is selected from 5-7 membered heterocycloalkyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, O, S(=O)₀₋₂, and 5-7 membered heterocycloalkenyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, O, S(=O)₀₋₂, wherein said heterocycloalkyl and said heterocycloalkenyl may further be fused with phenyl or a 5-6 membered heteroaryl of 2-5 carbon atoms and 1-3 heteroatoms selected from N, S(=O)₀₋₂ and O, and/or wherein one or more of the carbon atoms in said heterocycloalkyl or heterocycloalkenyl may be oxidized to C(=O), wherein said heterocycloalkyl or said heterocycloalkenyl may be substituted with 1-3 of R¹⁰;

R³⁰ is selected from alkyl of 1-6 carbon atoms, alkenyl of 2-6 carbon atoms, alkynyl of 2-6 carbon atoms, haloalkyl of 1-6 carbon atoms, A(OR²²)-R²³, and A-R²³, or

 R^{30} is selected from cycloalkyl of 3-6 carbon atoms, cycloalkenyl of 3-6 carbon atoms, aryl of 6-10 carbon atoms, and heteroaryl of 2-9 carbon atoms and 1-4 heteroatoms selected from N, S(=O)₀₋₂, and O, all of which may be substituted with 1-3 of R^{10} , or

R³⁰ is selected from 5-7 membered heterocycloalkyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, O, S(=O)₀₋₂, and 5-7 membered heterocycloalkenyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, O, S(=O)₀₋₂, wherein said heterocycloalkyl and said heterocycloalkenyl may further be fused with phenyl or a 5-6 membered heteroaryl of 2-5 carbon atoms and 1-3 heteroatoms selected from N, S(=O)₀₋₂ and O, and/or wherein one or more of the carbon atoms in said heterocycloalkyl or heterocycloalkenyl may be oxidized to C(=O), wherein said heterocycloalkyl or said heterocycloalkenyl may be substituted with 1-3 of R¹⁰, or

R²⁵ and R³⁰ combine, together with the nitrogen atom to which they are attached, to form a 5-7 membered heterocycloalkyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, S(=O)₀₋₂, and O, a 5-7 membered heterocycloalkenyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, S(=O)₀₋₂, and O, or a heteroaryl of 2-9 carbon atoms and 1-4 heteroatoms, all of which may be substituted with 1-3 of R¹⁰;

R²⁹ is selected from alkyl of 1-6 carbon atoms, alkenyl of 2-6 carbon atoms, alkynyl of 2-6 carbon atoms, haloalkyl of 1-6 carbon atoms, A-R²³, A-C(=O)R²⁴, A-C(=O)OR²⁴, A-C(=O)NR²⁴R²⁵, A-NR²⁷R²⁸, or

 R^{29} is selected from cycloalkyl of 3-6 carbon atoms, cycloalkenyl of 3-6 carbon atoms, aryl of 6-10 carbon atoms, heteroaryl of 2-9 carbon atoms and 1-4 heteroatoms selected from N, S(=O)₀₋₂, and O, all of which may be substituted with 1-3 of R^{10} , or

R²⁹ is selected from 5-7 membered heterocycloalkyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, O, S(=O)₀₋₂, and 5-7 membered heterocycloalkenyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, O, S(=O)₀₋₂, wherein said heterocycloalkyl and said heterocycloalkenyl may further be fused with phenyl or a 5-6 membered heteroaryl of 2-5 carbon atoms and 1-3 heteroatoms selected from N, S(=O)₀₋₂ and O, and/or wherein one or more of the carbon atoms in said heterocycloalkyl or heterocycloalkenyl may be oxidized to C(=O), wherein said heterocycloalkyl or said heterocycloalkenyl may be substituted with 1-3 of R¹⁰;

R⁷ is selected from cycloalkyl of 3-8 carbon atoms, cycloalkenyl of 4-8 carbon atoms, aryl of 6-10 carbon atoms, and heteroaryl of 2-9 carbon atoms and 1-4 heteroatoms, all of which may be substituted with 1-3 of R¹⁰,

or

R⁷ is selected from 5-7 membered heterocycloalkyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, S(=O)₀₋₂ and O and 5-7 membered heterocycloalkenyl of 3-6 carbon atoms and 1-2 heteroatoms selected from N, S(=O)₀₋₂ and O, wherein said heterocycloalkyl and said heterocycloalkenyl may further be fused with phenyl or a 5-6 membered heteroaryl of 2-5 carbon atoms and 1-3 heteroatoms selected from N, S(=O)₀₋₂ and O, and/or wherein one or more of the carbon atoms in said heterocycloalkyl or heterocycloalkenyl may be oxidized to C(=O), wherein said heterocycloalkyl or said heterocycloalkenyl may be substituted with 1-3 of R¹⁰, A(OR²²)-R²³, A-R²³, A-NR²⁴R²⁵, C(=O)R²⁴, C(=O)OR²⁴, C(=O)NR²⁴R²⁵, S(=O)₂R²⁶, A-C(=O)R²⁴, A-C(=O)NR²⁴R²⁵,

or

R⁷ is selected from hydrogen, nitrile, nitro, hydroxy, alkyl of 1-8 carbon atoms, alkenyl of 2-8 carbon atoms, alkynyl of 2-8 carbon atoms, haloalkyl of 1-8 carbon atoms, alkoxy of 1-8 carbon atoms, haloalkoxy of 1-8 carbon atoms, cycloalkoxy of 3-8 carbon atoms, A-R²³, A(OR²²)-R²³, NR²⁷R²⁸, A-NR²⁷R²⁸, A-Q-R²⁹, Q-R²⁹, Q-A-NR²⁴R²⁵, C(=O)R²⁴, C(=O)OR²⁴, C(=O)NR²⁴R²⁵, A-C(=O)OR²⁴, and A-C(=O)NR²⁴R²⁵;

and a pharmaceutically acceptable salt thereof,

with the proviso that the compound is not 1-(3-chlorophenyl)- 4-(dimethylamino)-1,8-naphthyridin-2(1H)-one or 4-amino-1-phenyl-1,8-naphthyridin-2(1H)-one.

2. The compound of claim 1, wherein

R¹ is selected from aryl of 6 or 10 carbon atoms, which may be substituted with 1-3 of R¹⁰;

R¹⁰ is selected from nitro, nitrile, hydroxy, halogen, acyl of 1-6 carbon atoms, alkyl of 1-6 carbon atoms, haloalkyl of 1-6 carbon atoms, haloalkyl of 1-6 carbon atoms, haloalkoxy of 1-6 carbon atoms, cycloalkoxy of 3-6 carbon atoms, phenyl, heteroaryl selected from thienyl, furyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyridyl, pyrimidyl, pyridazinyl, indolyl, indazolyl, benzofuranyl, benzothiophenyl, quinolinyl and isoquinolinyl, NR¹¹R¹², C(=O)OR¹¹, C(=O)NHR¹¹, NHC(=O)R¹³, NHS(=O)₂R¹³, S(=O)₀₋₂R¹³, S(=O)₂NHR¹¹, cyclopropyl, cyclopentyl, cyclohexyl, and heterocycloalkyl selected from tetrahydrofuryl, pyrrolidinyl, pyrrolinyl, piperidinyl, 1,2-dihydropyridinyl, 1,4-dihydropyridinyl, piperazinyl, morpholinyl, morpholinyl-N-oxide and thiomorpholinyl, wherein said heterocycloalkyl may further be fused with phenyl, thienyl, furyl, pyrrolyl, thiazolyl,

oxazolyl, imidazolyl, pyridyl, pyrimidyl, pyridazinyl, indolyl, indazolyl, benzofuranyl, benzothiophenyl, quinolinyl or isoquinolinyl;

R¹³ is selected from alkyl of 1-6 carbon atoms, haloalkyl of 1-6 carbon atoms, and cycloalkyl of 3-6 carbon atoms;

R¹¹ and R¹² are independently selected from hydrogen, alkyl of 1-6 carbon atoms, haloalkyl of 1-6 carbon atoms, and cycloalkyl of 3-6 carbon atoms;

A is selected from alkyl of 1-8 carbon atoms and haloalkyl of 1-8 carbon atoms;

R³ is hydrogen,

 R^4 is $-NR^{4-1}R^{4-2}$:

R⁴⁻¹ is selected from the group consisting of hydrogen, alkyl of 1-8 carbon atoms and haloalkyl of 1-8 carbon atoms;

R⁴⁻² is selected from the group consisting of hydrogen, alkyl of 1-8 carbon atoms, haloalkyl of 1-8 carbon atoms, aryl of 6 or 10 carbon atoms, heteroaryl selected from thienyl, furyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyridyl, pyrimidyl, pyridazinyl, indolyl, indazolyl, benzofuranyl, benzothiophenyl, quinolinyl, isoquinolinyl, heterocycloalkyl selected from tetrahydrofuryl, pyrrolidinyl, pyrrolinyl, piperidinyl, 1,2-dihydropyridinyl, piperazinyl, morpholinyl, morpholinyl-Noxide and thiomorpholinyl, wherein said aryl, hereroaryl or heterocycloalkyl may be substituted with one to three substituents selected from the group consisting of nitro, nitrile, hydroxy, halogen, acyl of 1-6 carbon atoms, alkyl of 1-6 carbon atoms, haloalkyl of 1-6 carbon atoms, alkoxy of 1-6 carbon atoms, or

R⁴⁻¹ and R⁴⁻² form a heterocycloalkyl selected from tetrahydrofuryl, pyrrolidinyl, pyrrolinyl, piperidinyl, 1,2-dihydropyridinyl, 1,4-dihydropyridinyl, piperazinyl, morpholinyl, morpholinyl-N-oxide and thiomorpholinyl, wherein said heterocycloalkyl may be substituted with one to three substituents selected from the group consisting of nitro, nitrile, hydroxy, halogen, acyl of 1-6 carbon atoms, alkyl of 1-6 carbon atoms, haloalkyl of 1-6 carbon atoms, alkoxy of 1-6 carbon atoms and haloalkoxy of 1-6 carbon atoms,

R⁵ and R⁶ are independently selected from cycloalkyl of 3-8 carbon atoms, aryl of 6-10 carbon atoms, and heteroaryl of 2-9 carbon atoms and 1-4 heteroatoms, all of which may be substituted with 1-3 of R¹⁰,

or

R⁵ and R⁶ are heterocycloalkyl selected from tetrahydrofuryl, pyrrolidinyl, pyrrolinyl, piperidinyl, 1,2-dihydropyridinyl, 1,4-dihydropyridinyl, piperazinyl, morpholinyl, morpholinyl-N-oxide and thiomorpholinyl, wherein said heterocycloalkyl may further be fused with phenyl, thienyl, furyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyridyl, pyrimidyl, pyridazinyl, indolyl, indazolyl, benzofuranyl, benzothiophenyl, quinolinyl or isoquinolinyl,

or

R⁵ and R⁶ are independently selected from hydrogen, halogen, nitrile, nitro, hydroxy, alkyl of 1-8 carbon atoms, alkenyl of 2-8 carbon atoms, alkynyl of 2-8 carbon atoms, haloalkyl of 1-8 carbon atoms, alkoxy of 1-8 carbon atoms, haloalkoxy of 1-8 carbon atoms, cycloalkoxy of 3-8 carbon atoms, A-R²³, A(OR²²)-R²³, NR²⁷R²⁸, A-NR²⁷R²⁸, A-Q-R²⁹, Q-R²⁹, Q-A-NR²⁴R²⁵, C(=O)R²⁴, C(=O)R²⁴, A-C(=O)R²⁴, A-C(=O)R²⁴, and A-C(=O)NR²⁴R²⁵;

Q is selected from O and $S(=O)_{0-2}$;

R²² is selected from hydrogen, alkyl of 1-8 carbon atoms, haloalkyl of 1-8 carbon atoms, and cycloalkyl of 3-8 carbon atoms;

R²³ is selected from hydroxy, alkoxy of 1-8 carbon atoms, haloalkoxy of 1-8 carbon atoms, and cycloalkoxy of 3-8 carbon atoms, or

 R^{23} is selected from cycloalkyl of 3-8 carbon atoms, aryl of 6 or 10 carbon atoms, and heteroaryl of 2-9 carbon atoms and 1-4 heteroatoms selected from N, S(=O)₀₋₂, and O, all of which may be substituted with 1-3 of R^{10} , or

R²³ is heterocycloalkyl selected from tetrahydrofuryl, pyrrolidinyl, pyrrolinyl, piperidinyl, 1,2-dihydropyridinyl, 1,4-dihydropyridinyl, piperazinyl, morpholinyl, morpholinyl-N-oxide and thiomorpholinyl, wherein said heterocycloalkyl may further be fused with phenyl, thienyl, furyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyridyl, pyrimidyl, pyridazinyl, indolyl, indazolyl, benzofuranyl, benzothiophenyl, quinolinyl or isoquinolinyl, wherein said heterocycloalkyl may be substituted with 1-3 of R¹⁰;

with the proviso for A(OR²²)-R²³ that when R²³ is selected from hydroxy, alkoxy of 1-8 carbon atoms, haloalkoxy of 1-8 carbon atoms, and cycloalkoxy of 3-8 carbon atoms, A is not CH;

R²⁴ and R²⁵ are independently selected from hydrogen, alkyl of 1-6 carbon atoms, haloalkyl of 1-6 carbon atoms, and A-R²³, or

R²⁴ and R²⁵ are independently selected from cyclopropyl, cyclopentyl, cyclohexyl, aryl of 6-10 carbon atoms, thienyl, furyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyridyl, pyrimidyl, pyridazinyl, indolyl, indazolyl, benzofuranyl, benzothiophenyl, quinolinyl and isoquinolinyl, all of which may be substituted with 1-3 of R¹⁰, or

R²⁴ and R²⁵ are heterocycloalkyl selected from tetrahydrofuryl, pyrrolidinyl, pyrrolinyl, piperidinyl, 1,2-dihydropyridinyl, 1,4-dihydropyridinyl, piperazinyl, morpholinyl, morpholinyl-N-oxide and thiomorpholinyl, wherein said heterocycloalkyl may further be fused with phenyl, thienyl, furyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyridyl, pyrididzinyl, indolyl, indazolyl, benzofuranyl, benzothiophenyl, quinolinyl or isoquinolinyl, wherein said heterocycloalkyl may be substituted with 1-3 of R¹⁰, or

R²⁴ and R²⁵ combine, together with the nitrogen atom to which they are attached, to form a heterocycloalkyl selected from tetrahydrofuryl, pyrrolidinyl, pyrrolinyl, piperidinyl, 1,2-dihydropyridinyl, 1,4-dihydropyridinyl, piperazinyl, morpholinyl, morpholinyl-Noxide and thiomorpholinyl, wherein said heterocycloalkyl may further be fused with phenyl, thienyl, furyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyridyl, pyrimidyl, pyridazinyl, indolyl, indazolyl, benzofuranyl, benzothiophenyl, quinolinyl or isoquinolinyl, all of which may be substituted with 1-3 of R¹⁰;

 R^{26} is selected from alkyl of 1-6 carbon atoms, haloalkyl of 1-6 carbon atoms, $A(OR^{22})$ - R^{23} , and A- R^{23} , or

 R^{26} is selected from cyclopropyl, cyclopentyl, cyclohexyl, aryl of 6 or 10 carbon atoms, thienyl, furyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyridyl, pyrimidyl, pyridazinyl, indolyl, indazolyl, benzofuranyl, benzothiophenyl, quinolinyl and isoquinolinyl, all of which may be substituted with 1-3 of R^{10} , or

R²⁶ is heterocycloalkyl selected from tetrahydrofuryl, pyrrolidinyl, pyrrolinyl, piperidinyl, 1,2-dihydropyridinyl, 1,4-dihydropyridinyl, piperazinyl, morpholinyl, morpholinyl-Noxide and thiomorpholinyl, wherein said heterocycloalkyl may further be fused with phenyl, thienyl, furyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyridyl, pyrimidyl,

pyridazinyl, indolyl, indazolyl, benzofuranyl, benzothiophenyl, quinolinyl or isoquinolinyl, wherein said heterocycloalkyl may be substituted with 1-3 of R¹⁰;

 R^{27} is selected from hydrogen, alkyl of 1-6 carbon atoms, haloalkyl of 1-6 carbon atoms, and $A-R^{23}$, or

 R^{27} is selected from cyclopropyl, cyclopentyl, cyclohexyl, aryl of 6-10 carbon atoms, thienyl, furyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyridyl, pyrimidyl, pyridazinyl, indolyl, indazolyl, benzofuranyl, benzothiophenyl, quinolinyl, isoquinolinyl, all of which may be substituted with 1-3 of R^{10} , or

R²⁷ is heterocycloalkyl selected from tetrahydrofuryl, pyrrolidinyl, pyrrolinyl, piperidinyl, 1,2-dihydropyridinyl, 1,4-dihydropyridinyl, piperazinyl, morpholinyl, morpholinyl-Noxide and thiomorpholinyl, wherein said heterocycloalkyl may further be fused with phenyl, thienyl, furyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyridyl, pyrimidyl, pyridazinyl, indolyl, indazolyl, benzofuranyl, benzothiophenyl, quinolinyl or isoquinolinyl, wherein said heterocycloalkyl may be substituted with 1-3 of R¹⁰;

 R^{28} is selected from hydrogen, alkyl of 1-6 carbon atoms, haloalkyl of 1-6 carbon atoms, A-R²³, C(=O)R²⁴, C(=O)OR²⁶, C(=O)NR²⁵R³⁰, S(=O)₂R²⁶, A-C(=O)R²⁴, A-C(=O)OR²⁴, and A-C(=O)NR²⁴R²⁵, or

 R^{28} is selected from cyclopropyl, cyclopentyl, cyclohexyl, aryl of 6-10 carbon atoms, thienyl, furyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyridyl, pyrimidyl, pyridazinyl, indolyl, indazolyl, benzofuranyl, benzothiophenyl, quinolinyl, isoquinolinyl, all of which may be substituted with 1-3 of R^{10} , or

R²⁸ is heterocycloalkyl selected from tetrahydrofuryl, pyrrolidinyl, pyrrolinyl, piperidinyl, 1,2-dihydropyridinyl, 1,4-dihydropyridinyl, piperazinyl, morpholinyl, morpholinyl-Noxide and thiomorpholinyl, wherein said heterocycloalkyl may further be fused with phenyl, thienyl, furyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyridyl, pyrimidyl, pyridazinyl, indolyl, indazolyl, benzofuranyl, benzothiophenyl, quinolinyl or isoquinolinyl, wherein said heterocycloalkyl may be substituted with 1-3 of R¹⁰:

 R^{30} is selected from alkyl of 1-6 carbon atoms, haloalkyl of 1-6 carbon atoms, A(OR²²)- R^{23} , and A- R^{23} , or

R³⁰ is selected from cyclopropyl, cyclopentyl, cyclohexyl, thienyl, furyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyridyl, pyridyl, pyridazinyl, indolyl, indazolyl, benzofuranyl,

benzothiophenyl, quinolinyl, isoquinolinyl, all of which may be substituted with 1-3 of R¹⁰, or

R³⁰ is heterocycloalkyl selected from tetrahydrofuryl, pyrrolidinyl, pyrrolinyl, piperidinyl, 1,2-dihydropyridinyl, 1,4-dihydropyridinyl, piperazinyl, morpholinyl, morpholinyl-Noxide and thiomorpholinyl, wherein said heterocycloalkyl may further be fused with phenyl, thienyl, furyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyridyl, pyrimidyl, pyridazinyl, indolyl, indazolyl, benzofuranyl, benzothiophenyl, quinolinyl or isoquinolinyl, wherein said heterocycloalkyl may be substituted with 1-3 of R¹⁰, or

R²⁵ and R³⁰ combine, together with the nitrogen atom to which they are attached, to form a heterocycloalkyl selected from tetrahydrofuryl, pyrrolidinyl, pyrrolinyl, piperidinyl, 1,2-dihydropyridinyl, 1,4-dihydropyridinyl, piperazinyl, morpholinyl, morpholinyl-Noxide, thiomorpholinyl, thienyl, furyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyridyl, pyrimidyl, pyridazinyl, indolyl, indazolyl, benzofuranyl, benzothiophenyl, quinolinyl, orisoquinolinyl, all of which may be substituted with 1-3 of R¹⁰;

 R^{29} is selected from alkyl of 1-6 carbon atoms, haloalkyl of 1-6 carbon atoms, A-R²³, A-C(=O)R²⁴, A-C(=O)OR²⁴, A-C(=O)NR²⁴R²⁵, A-NR²⁷R²⁸, or

 R^{29} is selected from cyclopropyl, cyclopentyl, cyclohexyl, aryl of 6-10 carbon atoms, thienyl, furyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyridyl, pyrimidyl, pyridazinyl, indolyl, indazolyl, benzofuranyl, benzothiophenyl, quinolinyl or isoquinolinyl, all of which may be substituted with 1-3 of R^{10} , or

R²⁹ is heterocycloalkyl selected from tetrahydrofuryl, pyrrolidinyl, pyrrolinyl, piperidinyl, 1,2-dihydropyridinyl, 1,4-dihydropyridinyl, piperazinyl, morpholinyl, morpholinyl-N-oxide and thiomorpholinyl, wherein said heterocycloalkyl may further be fused with phenyl, thienyl, furyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyridyl, pyrimidyl, pyridazinyl, indolyl, indazolyl, benzofuranyl, benzothiophenyl, quinolinyl or isoquinolinyl, wherein said heterocycloalkyl may be substituted with 1-3 of R¹⁰;

R⁷ is selected from cycloalkyl of 3-8 carbon atoms, aryl of 6-10 carbon atoms, thienyl, furyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyridyl, pyrimidyl, pyridazinyl, indolyl, indazolyl, benzofuranyl, benzothiophenyl, quinolinyl, isoquinolinyl, all of which may be substituted with 1-3 of R¹⁰,

or

R⁷ is heterocycloalkyl selected from tetrahydrofuryl, pyrrolidinyl, pyrrolinyl, piperidinyl, 1,2-dihydropyridinyl, 1,4-dihydropyridinyl, piperazinyl, morpholinyl, morpholinyl-N-oxide and thiomorpholinyl, wherein said heterocycloalkyl may further be fused with phenyl, thienyl, furyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyridyl, pyrimidyl, pyridazinyl, indolyl, indazolyl, benzofuranyl, benzothiophenyl, quinolinyl, isoquinolinyl, and/or wherein one or more of the carbon atoms in said heterocycloalkyl may be oxidized to C(=O), wherein said heterocycloalkyl may be substituted with 1-3 of R¹⁰, A(OR²²)-R²³, A-R²³, A-NR²⁴R²⁵, C(=O)R²⁴, C(=O)OR²⁴, C(=O)OR²⁴, C(=O)OR²⁴, A-C(=O)OR²⁴, A-C(=O)OR²⁴, or A-C(=O)NR²⁴R²⁵,

or

R⁷ is selected from hydrogen, nitrile, nitro, hydroxy, alkyl of 1-8 carbon atoms, haloalkyl of 1-8 carbon atoms, alkoxy of 1-8 carbon atoms, haloalkoxy of 1-8 carbon atoms, cycloalkoxy of 3-8 carbon atoms, A-R²³, A(OR²²)-R²³, NR²⁷R²⁸, A-NR²⁷R²⁸, A-Q-R²⁹, Q-R²⁹, Q-A-NR²⁴R²⁵, C(=O)R²⁴, C(=O)OR²⁴, C(=O)NR²⁴R²⁵, A-C(=O)R²⁴, A-C(=O)OR²⁴, and A-C(=O)NR²⁴R²⁵;

and pharmaceutically acceptable salts thereof,

with the proviso that the compound is not 1-(3-chlorophenyl)- 4-(dimethylamino)-1,8-naphthyridin-2(1H)-one or 4-amino-1-phenyl-1,8-naphthyridin-2(1H)-one.

3. The compound of claim 1, wherein

R¹ is phenyl, which may be substituted with 1-3 of R¹⁰;

R¹⁰ is selected from nitro, nitrile, hydroxy, halogen, trifluoromethyl, methylcarbonyl, ethylcarbonyl, methyl, ethyl, propyl, isopropyl, butyl, t-butyl, methoxy, ethoxy, propyloxy or isopropyloxy;

R³ is hydrogen,

 R^4 is $-NR^{4-1}R^{4-2}$:

R⁴⁻¹ is selected from the group consisting of hydrogen, methyl, ethyl, propyl, isopropyl, butyl and t-butyl;

R⁴⁻² is phenyl, wherein said phenyl may be substituted with one to three substituents selected from the group consisting of nitro, nitrile, hydroxy, fluoro, chloro,

methylcarbonyl, ethylcarbonyl, methyl, ethyl, propyl, isopropyl, butyl, t-butyl, methoxy, ethoxy, propyloxy or isopropyloxy; or

R⁴⁻¹ and R⁴⁻² form a heterocycloalkyl selected from piperazinyl, morpholinyl and thiomorpholinyl, wherein said heterocycloalkyl may be substituted with one to three substituents selected from the group consisting of nitro, nitrile, hydroxy, fluoro, chloro, methylcarbonyl, ethylcarbonyl, methyl, ethyl, propyl, isopropyl, butyl, t-butyl, methoxy, ethoxy, propyloxy and isopropyloxy,

R⁵ and R⁶ are independently selected from hydrogen, fluoro, chloro, nitrile, nitro, hydroxy, methyl, ethyl, propyl, isopropyl, butyl, t-butyl, trifluoromethyl, methoxy, ethoxy, propyloxy and isopropyloxy;

R⁷ is selected from hydrogen, nitrile, nitro, hydroxy, methyl, ethyl, propyl, isopropyl, butyl, t-butyl, trifluoromethyl, methoxy, ethoxy, propyloxy and isopropyloxy;

and pharmaceutically acceptable salts thereof,

with the proviso that the compound is not 1-(3-chlorophenyl)- 4-(dimethylamino)-1,8-naphthyridin-2(1H)-one or 4-amino-1-phenyl-1,8-naphthyridin-2(1H)-one.

4. The compound of claim 1, wherein

R¹ is phenyl, which may be substituted with 1-3 of R¹⁰;

R¹⁰ is selected from fluoro, chloro and trifluoromethyl;

R³ is hydrogen,

R⁴ is -NR⁴⁻¹R⁴⁻²;

R⁴⁻¹ is selected from the group consisting of hydrogen and methyl;

R⁴⁻² is phenyl, wherein said phenyl may be substituted with one or two substituents selected from the group consisting of nitrile, fluoro, chloro, methyl, ethyl, methoxy and ethoxy; or

R⁴⁻¹ and R⁴⁻² form a morpholinyl,

R⁵ and R⁶ are independently selected from hydrogen, fluoro and chloro:

R⁷ is selected from hydrogen, fluoro and chloro;

and pharmaceutically acceptable salts thereof,

with the proviso that the compound is not 1-(3-chlorophenyl)- 4-(dimethylamino)-1,8-naphthyridin-2(1H)-one or 4-amino-1-phenyl-1,8-naphthyridin-2(1H)-one.

- A pharmaceutical composition comprising an effective amount of a compound of claim 1, or
 a pharmaceutically acceptable salt thereof, in combination with a pharmaceutically
 acceptable carrier.
- 6. A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 1, or a pharmaceutically acceptable salt thereof, in combination with a pharmaceutically acceptable carrier and one or more pharmaceutical agents.
- 7. The pharmaceutical composition of claim 6, wherein said pharmaceutical agent is selected from the group consisting of PPAR agonists, sulfonylurea drugs, non-sulfonylurea secretagogues, α-glucosidase inhibitors, insulin sensitizers, insulin secretagogues, hepatic glucose output lowering compounds, insulin, anti-obesity agents, HMG CoA reductase inhibitors, nicotinic acid, bile acid sequestrants, bile acid reuptake inhibitors, microsomal triglyceride transport inhibitors, fibric acid derivatives, lipid lowering drugs, ACAT inhibitors, and anti-hypertensive agents.
- 8. A method of treating diabetes or diabetes-related disorders comprising the step of administering to a subject in need thereof a therapeutically effective amount of a compound of claim 1.
- 9. The method of claim 8, wherein said diabetes is selected from the group consisting of type 1 diabetes, type 2 diabetes, maturity-onset diabetes of the young, latent autoimmune diabetes adult, and gestational diabetes.
- 10. A method of treating Syndrome X comprising the step of administering to a subject in need thereof a therapeutically effective amount of a compound of claim 1.
- 11. A method of treating diabetes-related disorders comprising the step of administering to a subject in need thereof a therapeutically effective amount of a compound of claim 1.
- 12. The method of claim 11, wherein said diabetes-related disorder is selected from the group consisting of hyperglycemia, hyperinsulinemia, impaired glucose tolerance, impaired fasting

glucose, dyslipidemia, hypertriglyceridemia, and insulin resistance.

13. A method of treating diabetes comprising the step of administering to a subject in need thereof a therapeutically effective amount of a compound of claim 1 in combination with one or more pharmaceutical agents.

- 14. The method of claim 13, wherein said pharmaceutical agent is selected from the group consisting of PPAR agonists, sulfonylurea drugs, non-sulfonylurea secretagogues, α-glucosidase inhibitors, insulin sensitizers, insulin secretagogues, hepatic glucose output lowering compounds, insulin, anti-obesity agents, HMG CoA reductase inhibitors, nicotirric acid, bile acid sequestrants, bile acid reuptake inhibitors, microsomal triglyceride transport inhibitors, fibric acid derivatives, lipid lowering drugs, ACAT inhibitors, and anti-hypertensive agents.
- Compounds according to claim 1 for the treatment and/or prophylaxis of diabetes and diabetes-related disorders.
- 16. Medicament containing at least one compound according to claim 1 in combination with at least one pharmaceutically acceptable, pharmaceutically safe carrier or excipient.
- 17. Use of compounds according to claim 1 for manufacturing a medicament for the treatment and/or prophylaxis of diabetes and diabetes-related disorders.
- 18. Medicaments according to claim 16 for the treatment and/or prophylaxis of diabetes.
- 19. A process for preparing compounds of the present invention, wherein compounds of formula (I),

$$R^{6}$$
 R^{7}
 N
 N
 R^{1}
 R^{1}
 R^{1}
 R^{1}

wherein R⁴ represents a leaving group,

is reacted with a compound of formula

HNR4-1R4-2

in the presence of a base.

20. The process of claim 19, wherein the leaving group is selected from halogen, tosylate, mesylate, and triflate.

- 21. The process of claim 20, wherein the leaving group is chlorine.
- 22. The process of claim 19, wherein the base is lithium bis(trimethylsilyl)amide